What is claimed is:

1. A method for delivery of a therapeutic or a diagnostic agent from an initial bodily compartment to at least one target bodily compartment, the method comprising administering to said initial bodily compartment an effective transcompartmental delivery promoting amount of:

a) a polymer having multiple functional groups at least one of which is covalently bound to a therapeutic or diagnostic agent, and at least one cell uptake promoter covalently bound to said therapeutic or diagnostic agent; or

b) a polymer and at least one cell uptake promoter covalently bound thereto; the polymer further comprising multiple functional groups at least one of which is covalently bound to a therapeutic or diagnostic agent.

2. The polymer of claim 1 comprising orthogonal functional groups, wherein the addition of said groups can be specified and controlled during manufacture to create a monodisperse product.

3. The method of claim 1, wherein said initial bodily compartment is selected from the group consisting of an extravascular site or an intravascular site.

4. The method of claim 1, wherein said target bodily compartment is selected from circulation, central nervous system, brain, eye, and an intracellular environment.

5. The method of claim 4, wherein said intracellular environment is within an epithelial cell, an endothelial cell, a phagocytic cell, a lymphocyte, a neuron, or a cancer cell.

6, The method of claim 1, wherein said administering is parenterally, transmucosally or transdermally.

7. The method of claim 6, wherein said transmucosally is orally, nasally, pulmonarily, vaginally or rectally.

8. The method of claim 6, wherein said parenterally is intra-arterial, intravenous, intramuscular, intradermal, subcutaneous, intraperitoneal, intraventricular, intraocular, intraorbital, or intracranial.

9. The method of claim 1, wherein said administering is orally.

10. The method of Claim 1, wherein said polymer is selected from the group consisting of poly(ethylene glycol), carboxymethylcellulose, dextran, polyvinyl alcohol, polyvinyl pyrrolidone, poly-1,3-dioxolane, poly-1,3,6-trioxane, an amino acid homopolymer, polypropylene oxide, a copolymer of ethylene glycol/propylene glycol, an ethylene/maleic anhydride copolymer, an amino acid copolymer, a copolymer of polyethylene glycol and an amino acid, a polypropylene oxide/ethylene oxide copolymer, and a polyethylene glycol/thiomalic acid copolymer; or any combination thereof.

11. The method of claim 1, wherein said polymer is poly(ethylene glycol).

12. The method of Claim 10, wherein said polymer has a molecular weight of about 200 to about 200,000 Daltons.

13. The method of claim 12, wherein said polymer has a molecular weight of about 2,000 to about 50,000 Daltons.

14. The method of claim 1, wherein said multiple functional groups are attached to said polymer at an interval.

15. The method of Claim 14, wherein said interval is about 100 to about 10,000 Daltons.

16. The method of claim 15, wherein said interval is about 300 to about 5,000 Daltons.

17. The method of Claim 1, wherein said functional group comprises a ketone, an ester, a carboxylic acid, an aldehyde, an alcohol, a thiol, or an amine.

18. The method of claim 14, wherein said functional group is a thiol.

19. The method of Claim 1, wherein said multiple functional groups are derived from a thiol compound bound to said polymer.

20. The method of claim 19, wherein said thiol compound is cysteamine, 1-amino-2-methyl-2-propanethiol, or 1-amino-2-propanethiol.

21. The method of claim 1, wherein said therapeutic or diagnostic agent comprises a functional group or is derivatized to comprise a functional group.

22. The method of claim 1, wherein said cell uptake promoter is selected from the group consisting of transporter, receptor, binding or targeting ligands that can be any moiety binding to a cell surface component, including but not limited to Vitamins (e.g. biotin, folate, pantothenate, B-6, B-12), Sugars (e.g. glucose, N-acetyl glucosamine), Chemokines (e.g. RANTES, IL-2), Peptide (or non-peptide) vectors (e.g. Tat, fMLF, penetratin, VEGF [a glycoprotein], transferring), Retro inverso peptides (e.g. RI TAT), Membrane fusion peptides (e.g. gp41, VEGF [a glycoprotein]), Lipids (or phospholipids) (e.g. myristic acid, stearic acid), Sense (or antisense) oligonucleotides (e.g. aptamers containing 5-(1-pentyl)-2'-deoxyuridine), Enzymes (e.g. neuraminidase), Antibodies (or antibody fragments) (e.g. CD4 [targets helper T cells], CD44 [targets ovarian cancer cells]), Antigens (or epitopes) (e.g. influenza virus hemagglutinin), Hormones (e.g. estrogen, progesterone, LHRH, ACTH, growth hormone), Adhesion molecules (e.g. lectins, ICAM) and analogues of any of the foregoing.

23. The method of claim 1, wherein said therapeutic or diagnostic agent is a naturally occurring or artificial protein, peptide or oligonucleotide, or derivative or analogue

thereof, or any other therapeutic or diagnostic chemical entity including but not limited to an organic molecule, secondary metabolite, hormone, toxin, radioactive compound, radio opaque compound or paramagnetic compound.

24. The method of claim 23, wherein said therapeutic or diagnostic is a retro inverso protein or peptide, or a portion thereof.

25. The method of claim 1, wherein said therapeutic or diagnostic agent comprises a thiol group or is derivatized to comprise a functional group.

26. The method of claim 24, wherein said therapeutic, diagnostic or cell uptake promoter peptide comprises a Tat-inhibitory polypeptide, comprising an amino acid sequence of R-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-X-(biotin)-Cys-NH_2 (SEQ ID NO:1), and biologically and pharmaceutically acceptable salts thereof, stereo, optical and geometrical isomers thereof, including retro inverso analogues, where such isomers exist, as well as the pharmaceutically acceptable salts and solvates thereof, wherein R comprises the residue of a carboxylic acid or an acetyl group; and X is a Cys or Lys residue.

27. The method of claim 25, wherein said therapeutic agent or uptake enhancer comprising a thiol compound comprises an amino acid sequence of:

N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-Cys-(biotin)-Cys-NH_2 (SEQ ID NO:2)

N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-Lys-(biotin)-Cys-NH_2 (SEQ ID NO:3)

N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-D-Cys-(biotin)-Cys-NH_2 (SEQ ID NO:4)

N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-D-Lys-(biotin)-Cys-NH_2 (SEQ ID NO:5)

N-acetyl-Gln-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-D-Lys-(biotin)-Cys-NH_2 (SEQ ID NO:6); or

N-acetyl-Arg-Lys-Lys-Arg-Arg-Pro-Arg-Arg-Arg-Cys-(biotin)-Cys-NH_2 (SEQ ID NO:7).

N-acetyl-*D*Cys-*D*Lys-(*biotin*)-*D*Arg-*D*Arg-*D*Arg-*D*Gln-*D*Arg-*D*Arg-*D*Lys-*D*Lys-*D*Arg-NH_2

or biologically and pharmaceutically acceptable salts thereof.

28. A transcompartmental delivery promoting composition comprising:

a) a polymer having multiple functional groups at least one of which is covalently bound to a therapeutic or diagnostic agent, and at least one cell uptake promoter covalently bound to said therapeutic or diagnostic agent; or

b) a polymer and at least one cell uptake promoter bound thereto; the polymer further comprising multiple functional groups at least one of which is covalently bound to a therapeutic or diagnostic agent.

29. The composition of Claim 28, wherein said polymer is selected from the group consisting of poly(ethylene glycol), carboxymethylcellulose, dextran, polyvinyl alcohol, polyvinyl pyrrolidone, poly-1,3-dioxolane, poly-1,3,6-trioxane, an amino acid homopolymer, polypropylene oxide, a copolymer of ethylene glycol/propylene glycol, an ethylene/maleic anhydride copolymer, an amino acid copolymer, a copolymer of polyethylene glycol and an amino acid, a polypropylene oxide/ethylene oxide copolymer, and a polyethylene glycol/thiomalic acid copolymer, or any combination thereof.

30. The composition of claim 28, wherein said polymer is poly(ethylene glycol).

31. The composition of Claim 29, wherein said polymer has a molecular weight of about 200 to about 200,000 Daltons.

32. The composition of claim 31, wherein said polymer has a molecular weight of about 2,000 to about 50,000 Daltons.

33. The composition of claim 28, wherein said multiple functional groups are attached to said polymer at an interval.

34. The composition of claim 33, wherein said interval is about 100 to about 10,000 Daltons.

35. The composition of claim 34, wherein said interval is about 300 to about 5,000 Daltons.

36. The composition of claim 28, wherein said functional group comprises a ketone, an ester, a carboxylic acid, an aldehyde, an alcohol, a thiol, or an amine.

37. The composition of claim 36, wherein said functional group is a thiol.

38. The composition of claim 28, wherein said multiple functional groups are derived from a thiol compound bound to said polymer.

39. The composition of claim 38, wherein said thiol compound is cysteamine, 1-amino-2-methyl-2-propanethiol, or 1-amino-2-propanethiol.

40. The composition of claim 28, wherein said therapeutic or diagnostic agent comprises a functional group or is derivatized to comprise a functional group.

41. The composition of claim 28, wherein said cell uptake promoter is selected from the group consisting of transporter, receptor, binding or targeting ligands that can be any moiety binding to a cell surface component, including but not limited to Vitamins (e.g. biotin, folate, pantothenate, B-6, B-12), Sugars (e.g. glucose, N-acetyl glucosamine), Chemokines (e.g. RANTES, IL-2), Peptide (or non-peptide) vectors (e.g. Tat, fMLF, penetratin, VEGF [a glycoprotein], transferring), Retro inverso peptides (e.g. RI TAT), Membrane fusion peptides (e.g. gp41, VEGF [a glycoprotein]), Lipids (or phospholipids) (e.g. myristic acid, stearic acid), Sense (or antisense) oligonucleotides (e.g. aptamers containing 5-(1-pentyl)-2'-deoxyuridine), Enzymes (e.g. neuraminidase), Antibodies (or

antibody fragments) (e.g. CD4 [targets helper T cells], CD44 [targets ovarian cancer cells]), Antigens (or epitopes) (e.g. influenza virus hemagglutinin), Hormones (e.g. estrogen, progesterone, LHRH, ACTH, growth hormone), Adhesion molecules (e.g. lectins, ICAM) and analogues of any of the foregoing.

42. The composition of claim 28, wherein said therapeutic or diagnostic agent is a naturally occurring or artificial protein, peptide or oligonucleotide, or derivative or analogue thereof, or any other therapeutic or diagnostic chemical entity including but not limited to an organic molecule, secondary metabolite, hormone, toxin, radioactive compound, radio opaque compound or paramagnetic compound.

43. The method of claim 42, wherein said therapeutic or diagnostic is a retro inverso protein or peptide, or a portion thereof.

44. The composition of claim 42, wherein said therapeutic or diagnostic agent comprises a thiol group or is derivatized to have a thiol group.

45. The composition of claim 42, wherein said therapeutic, diagnostic or cell uptake promoter peptide comprises a Tat-inhibitory polypeptide, comprising an amino acid sequence of

R-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-X-(biotin)-Cys-NH_2 (SEQ ID NO:1), and biologically and pharmaceutically acceptable salts thereof, stereo, optical and geometrical isomers thereof, including retro inverso analogues, where such isomers exist, as well as

the pharmaceutically acceptable salts and solvates thereof, wherein R comprises the residue of a carboxylic acid or an acetyl group; and X is a Cys or Lys residue.

wherein said peptide comprises a Tat-inhibitory polypeptide, comprising an amino acid sequence

R-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-X-(biotin)-Cys-NH_2 (SEQ ID NO:1), and biologically and pharmaceutically acceptable salts thereof, stereo, optical and geometrical isomers thereof where such isomers exist, as well as the pharmaceutically acceptable salts and solvates thereof, wherein

R comprises the residue of a carboxylic acid or an acetyl group; and X is a Cys or Lys residue.

46. The method of claim 42, wherein said therapeutic agent or uptake enhancer comprising a thiol compound comprises an amino acid sequence of:

N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-Cys-(biotin)-Cys-NH_2 (SEQ ID NO:2)

N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-Lys-(biotin)-Cys-NH_2 (SEQ ID NO:3)

N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-D-Cys-(biotin)-Cys-NH_2 (SEQ ID NO:4)

N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-D-Lys-(biotin)-Cys-NH_2 (SEQ ID NO:5)

N-acetyl-Gln-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-D-Lys-(biotin)-Cys-NH_2 (SEQ ID NO:6); or

N-acetyl-Arg-Lys-Lys-Arg-Arg-Pro-Arg-Arg-Arg-Cys-(biotin)-Cys-NH_2 (SEQ ID NO:7).

N-acetyl-DCys-DLys-(biotin)-DArg-DArg-DArg-DGln-DArg-DArg-DLys-DLys-DArg-NH_2

or biologically and pharmaceutically acceptable salts thereof.

47. Compounds of the general formulas:

$(X)_o$-$(Y)_m$-$(linker)_n$

$(Y)_m$-$(linker)_n$-$(X)_o$

where X is 1 or more transporter, receptor, binding or targeting ligands, including retro inverso peptides, which may be identical or non-identical;

where Y is 1 or more of any therapeutic or diagnostic moieties, naturally-occurring or artificial, including retro inverso peptides, which may be identical or non-identical;

where linker comprises polymer with functional groups and provides covalent bonds between linker and X and/or Y;

where transporter is a moiety for which a transporter protein therefore is present in epithelial cells of the intestine; and

m, n, and o may be any independently varying integers, or more specifically may each independently vary from 1 to about 100.

48. The linker of claim 47, said linker having a linear or branched structure.

49. The compound of claim 47, wherein said linker is selected from the group consisting of poly(ethylene glycol), carboxymethylcellulose, dextran, polyvinyl alcohol, polyvinyl pyrrolidone, poly-1,3-dioxolane, poly-1,3,6-trioxane, an amino acid homopolymer, polypropylene oxide, a copolymer of ethylene glycol/propylene glycol, an ethylene/maleic anhydride copolymer, an amino acid copolymer, a copolymer of polyethylene glycol and an amino acid, a polypropylene oxide/ethylene oxide copolymer, and a polyethylene glycol/thiomalic acid copolymer or any combination thereof.

50. The compound of claim 47, wherein said linker is poly(ethylene glycol).

51. The compound of claim 49, wherein said linker has a molecular weight of about 200 to about 200,000 Daltons.

52 The compound of claim 51, wherein said linker has a molecular weight of about 2,000 to about 50,000 Daltons.

53. The linker of claim 47 comprising orthogonal functional groups, wherein the addition of said groups can be specified and controlled during manufacture to create a monodisperse product.

54. The compound of claim 47, wherein X and Y are covalently bound to the linker by a functional moiety selected from the group consisting of a ketone, an ester, a carboxylic acid, an aldehyde, an alcohol, a thiol, and an amine.

55. The functional moieties of claim 54, wherein said covalent binding results in formation of reversible or irreversible bonds in compounds selected from the group consisting of ethers, schiff bases, esters, amides, disulfides, thioethers and carbamates.

56. The linker of claim 47, wherein the attachment to a therapeutic or diagnostic moiety (Y) is through a reversible bond, whereby the original unmodified moiety can be released.

57. The compound of claim 47, wherein the presence of the linker does not negatively affect the biochemical properties of X and/or Y.

58. A method for oral delivery of a therapeutic or diagnostic agent to an animal, such as a mammal, including but not limited to a human, for the delivery of the protein or peptide for therapeutic or other purposes comprising administering to said mammal a compound of claim 47, wherein (Y) is said therapeutic or diagnostic agent.

59. The compound of claim 47, wherein (Y) is said therapeutic or diagnostic agent, is a naturally occurring or artificial protein, peptide or oligonucleotide, or derivative or analogue thereof, or any other therapeutic or diagnostic chemical entity including but not limited to an organic molecule, secondary metabolite, hormone, toxin, radioactive compound, radio opaque compound or paramagnetic compound.

60. The compound of claim 47, wherein (X) is selected from the group consisting of transporter, receptor, binding or targeting ligands that can be any moiety binding to a cell surface component, including but not limited to Vitamins (e.g. biotin, folate, pantothenate, B-6, B-12), Sugars (e.g. glucose, N-acetyl glucosamine), Chemokines (e.g. RANTES, IL-2), Peptide (or non-peptide) vectors (e.g. Tat, fMLF, penetratin, VEGF [a glycoprotein], transferring), Retro inverso peptides (e.g. RI TAT), Membrane fusion peptides (e.g. gp41, VEGF [a glycoprotein]), Lipids (or phospholipids) (e.g. myristic acid, stearic acid), Sense (or antisense) oligonucleotides (e.g. aptamers containing 5-(1-pentyl)-2'-deoxyuridine), Enzymes (e.g. neuraminidase), Antibodies (or antibody fragments) (e.g. CD4 [targets helper T cells], CD44 [targets ovarian cancer cells]), Antigens (or epitopes) (e.g. influenza virus hemagglutinin), Hormones (e.g. estrogen, progesterone, LHRH, ACTH, growth hormone), Adhesion molecules (e.g. lectins, ICAM) and analogues of any of the foregoing.

61. The compound of claim 47, wherein (X) is an ordinary or a retro inverso protein or peptide.

62. The compound of claim 47, wherein (X) serves as a transport enhancing moiety that increases drug delivery into cells expressing receptors for said (X)

63. The transport enhancing moiety of claim 61, wherein X is a therapeutic or diagnostic agent other than a retro inverso peptide.

64. The transport enhancing moiety of claim 61, selected from the group consisting of RI-TAT, TAT-biotin, and RI-TAT-biotin.

65. The compound of claim 47, wherein the transporter (X) is selected from the group consisting of transporter, receptor, binding or targeting ligands that can be any moiety binding to a cell surface component, including but not limited to Vitamins (e.g. biotin, folate, pantothenate, B-6, B-12), Sugars (e.g. glucose, N-acetyl glucosamine), Chemokines (e.g. RANTES, IL-2), Peptide (or non-peptide) vectors (e.g. Tat, fMLF, penetratin, VEGF [a glycoprotein], transferring), Retro inverso peptides (e.g. RI TAT), Membrane fusion peptides (e.g. gp41, VEGF [a glycoprotein]), Lipids (or phospholipids) (e.g. myristic acid, stearic acid), Sense (or antisense) oligonucleotides (e.g. aptamers containing 5-(1-pentyl)-2'-deoxyuridine), Enzymes (e.g. neuraminidase), Antibodies (or antibody fragments) (e.g. CD4 [targets helper T cells], CD44 [targets ovarian cancer cells]), Antigens (or epitopes) (e.g. influenza virus hemagglutinin), Hormones (e.g. estrogen, progesterone, LHRH, ACTH, growth hormone), Adhesion molecules (e.g. lectins, ICAM) and analogues of any of the foregoing. or other molecule which is capable of being transported across the intestinal mucosa by an intestinal epithelial cell transporter protein.

66. The compound of claim 47, wherein the transporter is biotin, vitamin B6, and vitamin B12.

67. A method for identifying a suitable compound of claim 47 for therapeutic or diagnostic use without the components thereof negatively affecting the biological activity of the peptide or protein component of the compound, the method comprising preparing a

compound as described in claim 46 and screening the compound for biological activity of the therapeutic or diagnostic agent portion of the compound.

68. The method of claim 22, wherein said cell uptake promoter is a retro inverso protein or peptide, or a portion thereof.